Structurally optimized tetrazines for rapid biological labeling

Introduction

Bioorthogonal chemical reactions are closely associated with the characteristics of “click” chemistry, occurring with high selectivity and fast reaction kinetics in vivo.[1,2] Consequently, these reactions found use as multipurpose tools for chemical biology. The Inverse-electron-Demand Diels–Alder **(iEDDA)** reaction between tetrazines and strained alkenes is fairly new ligation reaction, which displays **rates 3-7 orders of magnitude faster** than many bioorthogonal reactions.[3] High reaction rates, biocompatibility, together with the ability of tetrazines to quench fluorescence of some fluorophores, widely used for fluorescent labeling, and recover it after **iEDDA** reaction **(Figure 1)** make tetrazine derivatives unique and versatile tools for bioortogonal chemistry. **Figure 2** is showcasing possible approach to modification of commonly used fluorophore as fluoresceine **(A)** with tetrazines[4] and application of tetrazine derivatives in DNA encoded libraries technologies (DELT), as the core scaffolds **(B)**.[5]

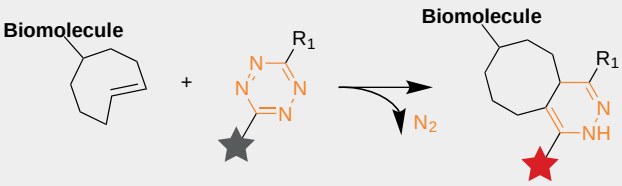


Figure 1. General scheme of **IeDDA** ligation.

Application

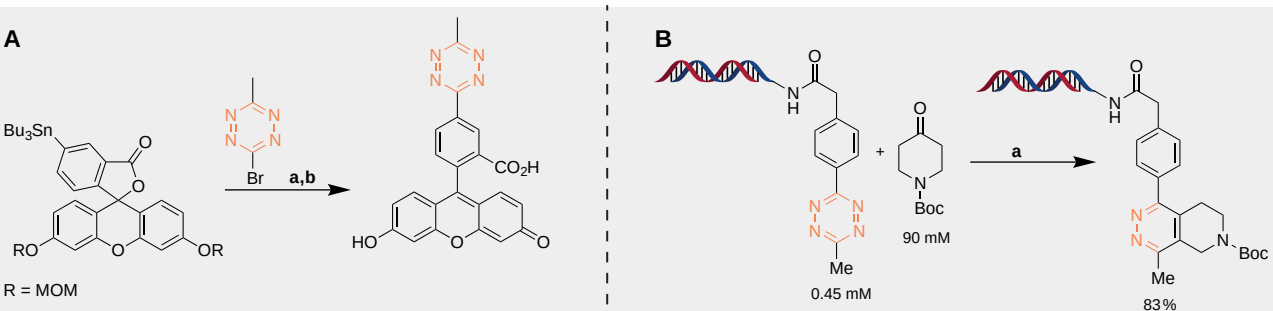
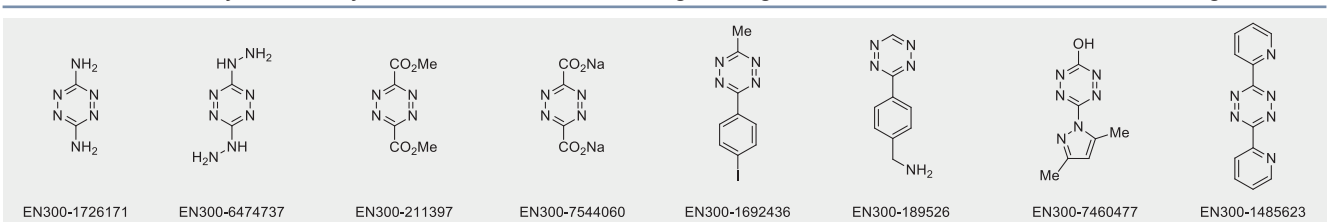


Figure 2. Tetrazine ligation of fluoresceine (**A**)[4] and use of tetrazine core in DELT-compatible reaction (**B**).[5]

We offer

Currently, we have synthesized 8 tetrazine-containing building blocks, that are available in our store on a gram scale.



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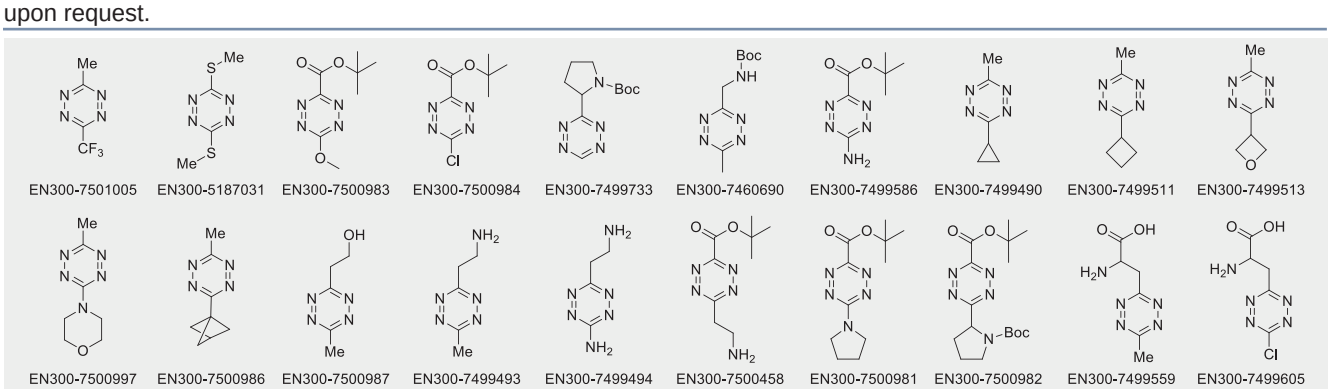
Pre-order

We also have designed a library of tetrazine-containing building blocks. These molecules can be synthesized upon request.



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EN300-7500997 | EN300-7500986 | EN300-7500987 | EN300-7499493 | EN300-7499494 | EN300-7500458 | EN300-7500981 | EN300-7500982 | EN300-7499559 | EN300-7499605

References

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2. M. F. Debets et al. *Org. Biomol. Chem.* **2013**, 11, 6439.
3. H. L. Evans et al. *Chem. Commun.* **2014**, 50, 9557.
4. A. Wieczorek et al. *Chem. Sci.* **2017**, 8, 1506.
5. H. Li et al. *Org. Lett.* **2018**, *20* , 22, 7186.